Attorney Docket No. 6567.200-US
Sorensen et al.
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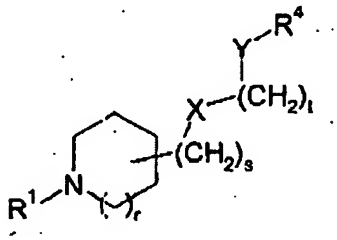
CLAIM LISTING

1. (Previously presented) A compound of the general formula (I):



(I)

wherein

R^1 is

C_{3-8}-cycloalkyl or C_{5-8}-cycloalkenyl,

- wherein the cyclic moieties may optionally be substituted with one or more substituents independently selected from R^{12}, wherein R^{12} is C_{1-6}-alkyl, halogen, trifluoromethyl or 2,2,2-trifluoroethyl,

r is 1,

s is 0, 1, 2 or 3,

t is 0, 1, 2 or 3,

X is C=O, CHOH or CR^2R^3; wherein R^2 and R^3 independently are hydrogen or C_{1-6}-alkyl, or X is a bond,

Y is is selected from the group consisting of oxadiazolyl, thiadiazolyl, or triazolyl, optionally substituted with one or more substituents independently selected from R^{18},
R^{18} is halogen, nitro, cyano, hydroxy, C_{1-6}-alkyl, C_{1-6}-alkylthio or C_{1-6}-alkoxy;

R^4 is

(a) C_{1-6}-alkyl, C_{3-8}-cycloalkyl or C_{3-8}-cycloalkenyl, which may optionally be substituted with one or more substituents independently selected from R^{13}, wherein R^{13} is C_{3-8}-cycloalkyl, C_{1-6}-alkoxy, C_{1-6}-alkylthio, cyano, halo-C_{1-6}-alkyl, halo-C_{1-6}-alkoxy, and halogen,

or

(b) aryl, aryl-C_{1-6}-alkyl, aryl-C_{2-6}-alkenyl, or heteroaryl

which may optionally be substituted with one or more substituents independently selected from R^{14}

R^{14} is

- halogen, nitro, cyano, acyl, hydroxy, C_{1-6}-alkyl, C_{1-6}-alkylthio, C_{1-6}-alkylsulfonyl, C_{1-6}-alkylsulfonyloxy, C_{1-6}-alkoxy, C_{3-8}-cycloalkyl, halo-C_{1-6}-alkyl, halo-C_{1-6}-alkoxy, -NR^5R^6, R^5R^6N-C_{1-6}-alkyl-, R^5R^6N-C_{1-6}-alkoxy-, or -O(C=O)NR^5R^6, or wherein two substituents in adjacent positions together form a radical -O-$(CH_2)_{1-3}$-O-; wherein R^5 and R^6 independently are hydrogen, C_{1-6}-alkyl, C_{3-8}-cycloalkyl, C_{1-6}-alkanoyl or aryl, or R^5 and R^6 together with the nitrogen atom to which they are attached form a 3 to 7 membered, saturated or unsaturated ring, which may be fused to a benzene ring,

- a group of the formula

-$(W)_k$-A wherein

W is -C_{1-6}-alkyl-, -$(O)_l$-C_{2-6}-alkenyl-, -$(O)_l$-C_{1-6}-alkyl-O-, -$(CH_2)_n$-(C=O)-$(CH_2)_m$-, -O-

wherein

l is 0 or 1

k is 0 or 1

n and m are independently 0, 1, 2 or 3,

A is

- aryl, aryl-C_{1-6}-alkyl, heteroaryl, heteroaryl-C_{1-6}-alkyl, C_{1-6}-alkyl or C_{3-8}-cycloalkyl wherein the ring moieties optionally may be substituted with one or more substituents independently selected from R^{15}

  R^{15} is

  - halogen, nitro, cyano, hydroxy, C_{1-6}-alkylthio, C_{1-6}-alkylsulfonyl, C_{1-6}-alkylsulfonyloxy, C_{1-6}-alkyl, C_{1-6}-alkoxy, C_{3-8}-cycloalkyl, halo-C_{1-6}-alkyl, halo-C_{1-6}-alkoxy, $-NR^7R^8$, R^7R^8N-C_{1-6}-alkyl-, R^7R^8N-C_{1-6}-alkoxy-, or $-O(C=O)NR^7R^8$, or wherein two substituents in adjacent positions together form a radical $-O-(CH_2)_{1-3}-O-$,

  wherein R^7 and R^8 independently are hydrogen, C_{1-6}-alkyl, C_{3-8}-cycloalkyl, C_{1-6}-alkanoyl or aryl, or R^7 and R^8 together with the nitrogen atom to which they are attached form a 3 to 7 membered, saturated or unsaturated ring, which may be fused to a benzene ring,
- NR^9R^{10} wherein R^9 and R^{10} independently are hydrogen, C_{1-6}-alkyl, C_{3-8}-cycloalkyl, C_{1-6}-alkanoyl or aryl, or R^9 and R^{10} together with the nitrogen atom to which they are attached form a 3 to 7 membered, saturated or unsaturated ring, which may be fused to a benzene ring, and the ring may contain further heteroatoms and it may optionally be substituted with one or more substituents independently selected from R^{16},

  wherein R^{16} is C_{1-6}-alkyl, C_{3-8}-cycloalkyl, C_{1-6}-alkanoyl or aryl optionally substituted with one or more substituents independently selected from R^{17},

  wherein R^{17} is halogen, nitro, cyano, hydroxy, or C_{1-6}-alkyl;

as well as any diastereomer or enantiomer or tautomeric form, mixtures of these, or a pharmaceutically acceptable salt thereof.

2. (Previously presented) A compound according to claim 1, wherein R^1 is C_{3-8}-cycloalkyl.

3. **(Currently amended)** A compound according to claim 2 ~~Error! Reference source not found.~~ wherein R^1 is cyclopropyl, cyclobutyl, cyclopentyl, or cyclohexyl.

4. **(Currently Amended)** A compound according to claim 3 ~~Error! Reference source not found.~~ wherein R^1 is cyclopropyl or cyclopentyl.

5. Cancelled

5 ~~6.~~ **(Currently amended)** A compound according to claim 4 ~~Error! Reference source not found.~~ wherein R^1 is cyclopropyl.

6 ~~7.~~ (Original) A compound according to claim 1, wherein X is a bond.

7 ~~8.~~ (Original) A compound according to claim 1, wherein s and t together are 0, 1, 2 or 3.

9. Cancelled

8 ~~10.~~ (Original) A compound according to claim 1 wherein s is 0 or 1.

9 ~~11.~~ (Original) A compound according to claim 10 wherein s is 0.

10 ~~12.~~ (Original) A compound according to claim 1 wherein t is 0.

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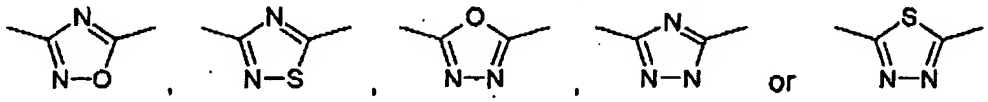
15. Cancelled

16. Cancelled

17. Cancelled

11 ~~18.~~ (Previously presented) A compound according to claim 1, wherein Y is selected from



12 ~~19~~. (Original) A compound according to claim 1, wherein R^4 is aryl, aryl-C_{1-6}-alkyl, either of which may optionally be substituted with one or more substituents independently selected from R^{14}, or C_{3-8}-cycloalkyl optionally substituted with one or more substituents independently selected from R^{13}.

13 ~~20~~. (Original) A compound according to claim 19 wherein R^4 is aryl optionally substituted with one or more substituents independently selected from R^{14}.

14 ~~21~~. (Original) A compound according to claim 19 wherein R^4 is phenyl, biphenylyl, or naphthyl optionally substituted with one or more substituents independently selected from R^{14}.

15 ~~22~~. (Original) A compound according to claim 21, wherein R^4 is phenyl optionally substituted with one or more substituents independently selected from R^{14}.

16 ~~23~~. (Original) A compound according to claim 1 wherein R^{13} is C_{1-6}-alkyl.

17 ~~24~~. (Original) A compound according to claim 1 wherein R^{14} is
halogen, cyano, hydroxy, C_{1-6}-alkyl, C_{1-6}-alkylsulfonyl, C_{1-6}-alkylsulfonyloxy, C_{1-6}-alkoxy, C_{3-8}-cycloalkyl, $-CF_3$, $-OCF_3$, $-NR^5R^6$, R^5R^6N-C_{1-6}-alkyl-, or
a group of the formula $-(W)_k$-A.

18 ~~25~~. (Original) A compound according to claim 24 wherein R^{14} is
F, Cl, cyano, methyl, ethyl, propyl, butyl, tert-butyl, methyl-sulfonyl, methylsulfonyloxy, methoxy, ethoxy, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, $-CF_3$, $-OCF_3$, $-NR^5R^6$, R^5R^6N-methyl-, or
a group of the formula $-(W)_k$-A.

19 ~~26~~. (Original) A compound according to claim 25 wherein R^{14} is
F, Cl, cyano, methyl, tert-butyl, methyl-sulfonyl, methoxy, cyclopentyl, cyclohexyl, $-CF_3$, $-OCF_3$, $-NR^5R^6$, R^5R^6N-methyl-, or
a group of the formula $-(W)_k$-A.

20 27. (Original) A compound according to claim 26 wherein R^{14} is a group of the formula $-(W)_k-A$.

21 28. (Original) A compound according to claim 1, wherein k is 1.

22 29. (Original) A compound according to claim 1 wherein k is 0.

23 30. (Original) A compound according to claim 1 wherein W is $-C_{1-6}$-alkyl-, $-(O)_l-C_{1-6}$-alkyl-O-, $-(CH_2)_n-(C=O)-(CH_2)_m-$, or -O-.

24 31. (Original) A compound according to claim 30 wherein W is $-C_{1-6}$-alkyl- or $-(CH_2)_n-(C=O)-(CH_2)_m-$.

25 32. (Original) A compound according to claim 31 wherein W is methylene, ethylene, propylene or $-(CH_2)_n-(C=O)-(CH_2)_m-$.

26 33. (Original) A compound according to claim 1 wherein n is 0 or 1.

27 34. (Original) A compound according to claim 33 wherein n is 0.

28 35. (Previously presented) A compound according to claim 1 wherein m is 0 or 1.

29 36. (Original) A compound according to claim 35 wherein m is 0.

30 37. (Original) A compound according to claim 1 wherein l is 0.

31 38. (Original) A compound according to claim 1 wherein A is C_{1-6}-alkyl, aryl or C_{3-8}-cycloalkyl, wherein the ring moieties optionally may be substituted with one or more substituents independently selected from R^{15}, or A is NR^9R^{10}.

32 39. (Original) A compound according to claim 38 wherein A is methyl, ethyl, phenyl, cyclopropyl, cyclobutyl, cyclopentyl, or cyclohexyl, wherein the ring moieties optionally may be substituted with one or more substituents independently selected from R^{15}, or A is NR^9R^{10}.

33 40. (Original) A compound according to claim 39 wherein A is phenyl optionally substituted with one or more substituents independently selected from R^{15}.

34 41. (Original) A compound according to claim 40 wherein A is phenyl.

35 42. (Original) A compound according to claim 39 wherein A is NR^9R^{10}.

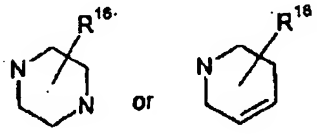
36 43. (Original) A compound according to claim 1 wherein R^{15} is halogen, nitro, cyano, hydroxy, C_{1-6}-alkylthio, C_{1-6}-alkylsulfonyl, C_{1-6}-alkylsulfonyloxy, C_{1-6}-alkyl, C_{1-6}-alkoxy, C_{3-8}-cycloalkyl, halo-C_{1-6}-alkyl, or halo-C_{1-6}-alkoxy.

37 44. (Original) A compound according to claim 43 wherein R^{15} is halogen, cyano, hydroxy, CH_3-S-, CH_3CH_2-S-, methylsulfonyl, methylsulfonyloxy, methyl, ethyl, propyl, butyl, isopropyl, methoxy, ethoxy, cyclopropyl, cyclobutyl, cyclopentyl, or cyclohexyl, -CF_3, or -OCF_3.

38 45. (Original) A compound according to claim 44 wherein R^{15} is halogen, methyl, ethyl, methoxy, ethoxy, -CF_3, or -OCF_3.

39 46. (Original) A compound according to claim 1 wherein R^9 and R^{10} together with the nitrogen atom to which they are attached form a 3 to 7 membered, saturated or unsaturated ring, which may be fused to a benzene ring, and the ring may contain further heteroatoms and it may optionally be substituted with one or more substituents independently selected from R^{16}.

40 47. (Original) A compound according to claim 46 wherein R^9 and R^{10} together with the nitrogen atom to which they are attached form a structure selected from



41 ~~48.~~ (Original) A compound according to claim 1 wherein R^{16} is methyl, ethyl, 1-ethyl-propyl or phenyl optionally substituted with one or more substituents independently selected from R^{17}.

42 ~~49.~~ (Original) A compound according to claim 1 wherein R^{17} is halogen.

43 ~~50.~~ (Original) A pharmaceutical composition comprising, as an active ingredient, at least one compound according to claim 1 together with one or more pharmaceutically acceptable carriers or excipients.

44 ~~51.~~ **(Currently amended)** A pharmaceutical composition according to claim 50 in unit dosage form, comprising from about 0.05 mg to about 1000 mg, ~~**preferably**~~ from about 0.1 mg to about 500 mg **or** ~~**and especially preferred**~~ from about 0.5 mg to about 200 mg of the compound.

45 ~~52.~~ (Original) A pharmaceutical composition according to claim 50 wherein the compound exhibits histamine H3 antagonistic activity or histamine H3 inverse agonistic activity.

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62. **Cancelled**

63. **Cancelled**

64. **Cancelled**

65. **Cancelled**

66. **Cancelled**

67. **Cancelled**